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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV	26	CHEMSAFE now available on STN Easy
NEWS	5	NOV	26	Two new SET commands increase convenience of STN searching
NEWS	6	DEC	01	ChemPort single article sales feature unavailable
NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN	06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN	07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	13	FEB	06	Patent sequence location (PSL) data added to USGENE
NEWS	14	FEB	10	COMPENDEX reloaded and enhanced
NEWS	15	FEB	11	WTEXTILES reloaded and enhanced
NEWS	16	FEB	19	New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art
NEWS	17	FEB	19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB	23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	23	MAR	06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	25	MAR	11	ESBIOBASE reloaded and enhanced
NEWS	26	MAR	20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	27	MAR	23	CA/CAPLUS enhanced with more than 250,000 patent equivalents from China

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * STN Columbus * * * * *

0.22

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DICTIONARY FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2

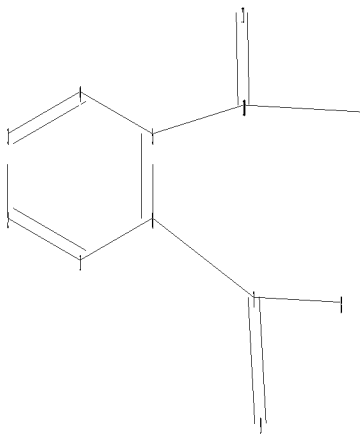
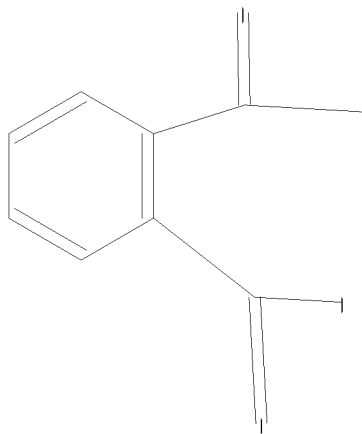
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

Uploading C:\Program Files\STNEXP\Queries\10598826s3.str



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chain nodes :
7  8  9 10 11 12
ring nodes :
1  2  3  4  5  6
chain bonds :
5-10  6-7  7-8  7-9 10-11 10-12
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
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exact bonds :
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normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS

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L1 STRUCTURE UPLOADED

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SAMPLE SEARCH INITIATED 11:20:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2302 TO ITERATE

86.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 43162 TO 48918
PROJECTED ANSWERS: 1957 TO 3337

L2 50 SEA SSS SAM L1

=> s l1 sss sam

SAMPLE SEARCH INITIATED 11:20:49 FILE 'REGISTRY'
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86.9% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 43162 TO 48918
PROJECTED ANSWERS: 1957 TO 3337

L3 50 SEA SSS SAM L1

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100.0% PROCESSED 44194 ITERATIONS 2785 ANSWERS
SEARCH TIME: 00.00.02

L4 2785 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 185.88 186.10

FILE 'CAPLUS' ENTERED AT 11:20:59 ON 06 APR 2009
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15
FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l4
L5 2130 L4

=> s l4 and cerbral
2130 L4
7 CERBRAL
L6 0 L4 AND CERBRAL

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=> s l4 and ischemia
      2130 L4
      88516 ISCHEMIA
      78 ISCHEMIAS
      88532 ISCHEMIA
          (ISCHEMIA OR ISCHEMIAS)
L7      12 L4 AND ISCHEMIA
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L7  ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:      2007:1176119  CAPLUS
DOCUMENT NUMBER:      147:462304
TITLE:                Spirocyclic heterocyclic derivatives and methods of
                        their use
INVENTOR(S):          Dolle, Roland E.; Lebourdonnec, Bertrand; Chu, Guo-Hua
PATENT ASSIGNEE(S):   Adolor Corporation, USA
SOURCE:               PCT Int. Appl., 127pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:        Patent
LANGUAGE:             English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007118151	A2	20071018	WO 2007-US66071	20070405
WO 2007118151	A3	20080703		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20070269374	A1	20071122	US 2007-696585	20070404
AU 2007234762	A1	20071018	AU 2007-234762	20070405
CA 2648287	A1	20071018	CA 2007-2648287	20070405
EP 2001467	A2	20081217	EP 2007-760193	20070405
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
IN 2008DN08019	A	20081107	IN 2008-DN8019	20080924
KR 2009014157	A	20090206	KR 2008-727224	20081106
PRIORITY APPLN. INFO.:			US 2006-790416P	P 20060406
			US 2007-696585	A 20070404
			WO 2007-US66071	W 20070405

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OTHER SOURCE(S):      MARPAT 147:462304
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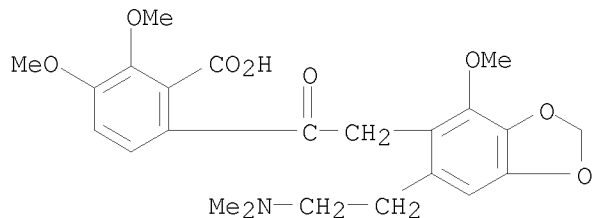
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AB  Spirocyclic heterocyclic derivs., pharmaceutical compns. containing these
      compds., and methods for their pharmaceutical use are disclosed. In
      certain embodiments, the spirocyclic heterocyclic derivs. are ligands of
      the  $\delta$ -opioid receptor and may be useful, inter alia, for treating
      and/or preventing pain, anxiety, gastrointestinal disorders, and other
       $\delta$ -opioid receptor-mediated diseases, disorders, and/or conditions.
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IT  131-28-2, Narceine
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
```

(analgesic spirocyclic heterocyclic derivs.)

RN 131-28-2 CAPLUS

CN Benzoic acid, 6-[2-[6-[2-(dimethylamino)ethyl]-4-methoxy-1,3-benzodioxol-5-yl]acetyl]-2,3-dimethoxy- (CA INDEX NAME)



L7 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:1002809 CAPLUS

DOCUMENT NUMBER: 147:412977

TITLE: Isoandrographolide derivatives for inhibiting COX-2, TNF- α , and IL-6 expression

INVENTOR(S): Zhang, Huibin; Huang, Wenlong; Li, Jing; Zhou, Huiping

PATENT ASSIGNEE(S): China Pharmaceutical University, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 26pp.

CODEN: CNXXEV

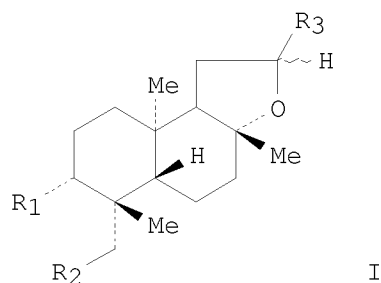
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101028260	A	20070905	CN 2007-10019982	20070206
PRIORITY APPLN. INFO.:			CN 2007-10019982	20070206
OTHER SOURCE(S):	MARPAT	147:412977		
GI				



AB The invention relates to application of substituted isoandrographolide derivs. in preparing COX-2 expression inhibitor, and/or TNF- α inhibitor and/or IL-6 inhibitor. The invention also relates to general formula I of substituted isoandrographolide derivative, wherein R₁ is H, hydroxy, C1-C8 linear or branched-chain alkoxy, C1-C8 linear or branched-chain alkanoyl, C1-C8 linear or branched-chain halogenated alkanoyl, C1-C8 linear or branched-chain halogenated alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted arylacyl, substituted or unsubstituted heterocyclic aryloxy, or substituted or unsubstituted heterocyclic arylacyl; R₂ is hydroxy, C1-C8 linear or branched-chain alkoxy, C1-C8

linear or branched-chain alkanoyl, C1-C8 linear or branched-chain halogenated alkanoyl, C1-C8 linear or branched-chain halogenated alkoxy, substituted or unsubstituted aryloxy, substituted or unsubstituted arylacyl, substituted or unsubstituted heterocyclic aryloxy, or substituted or unsubstituted heterocyclic arylacyl or R1 and R2 form hexa. ring contains C and O; R3 is formula 2 or 3 on Pg2 wherein X is O, S, N or NR4; R4 is H, C1-C8 linear or branched-chain alkoxy, C1-C8 linear or branched-chain alkanoyl, C1-C8 linear or branched-chain halogenated alkanoyl, C1-C8 linear or branched-chain halogenated alkoxy, C1-C8 linear or branched-chain halogenated alkyl, C1-C8 linear or branched-chain alkyl, substituted or unsubstituted aryloxy, substituted or unsubstituted arylacyl, substituted or unsubstituted heterocyclic aryloxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, or substituted or unsubstituted hetero. The substituting group in the above substitution groups is hydroxy, C1-C8 linear or branched-chain aryl, C1-C8 linear or branched-chain heteroaryl, C1-C8 linear or branched-chain alkoxy, C1-C8 linear or branched-chain alkanoyl, C1-C8 linear or branched-chain halogenated alkanoyl, C1-C8 linear or branched-chain halogenated alkoxy,, halogen, nitro or amino. TNF- α inhibitor can treat rheumatoid arthritis, juvenile rheumatoid arthritis, bony arthritis, spinal arthritis, inflammatory intestinal diseases, heart failure, diabetes mellitus, systemic lupus erythematosus, cancer, infectious shock, asthma, respiratory viral infection, obesity, etc. IL-6 inhibitor can treat Alzheimer's disease, schizophrenia, cancer, gouty arthritis, diabetes mellitus, depression and/or ankylosing spinal disease. COX-2 inhibitor can treat cancer, tumor multidrug resistance, thrombosis, myocardial ischemic anoxia, cerebrovascular disease, atherosclerosis, epilepsy, Parkinson's diseases and/or Alzheimer's disease.

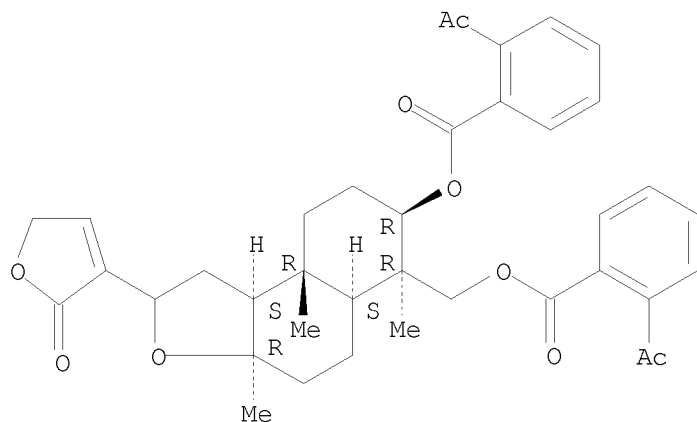
IT 950895-56-4P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isoandrographolide derivs. for inhibiting COX-2, TNF- α , and IL-6 expression)

RN 950895-56-4 CAPLUS

CN Benzoic acid, 2-acetyl-, (3aR,5aS,6R,7R,9aR,9bS)-6-[[2-(acetylbenzoyl)oxy)methyl]-2-(2,5-dihydro-2-oxo-3-furanyl)dodecahydro-3a,6,9a-trimethylnaphtho[2,1-b]furan-7-yl ester (CA INDEX NAME)

Absolute stereochemistry.



TITLE: Preparation of
2-phenoxy-N-(1,3,4-thiadiazol-2-yl)pyridin-3-amine
derivatives and related compounds as P2Y1 receptor
inhibitors for the treatment of thromboembolic
disorders

INVENTOR(S): Sutton, James C.; Pi, Zulan; Ruel, Rejean; L'Heureux,
Alexandre; Thibeault, Carl; Lam, Patrick Y. S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 295 pp.
CODEN: PIXXD2

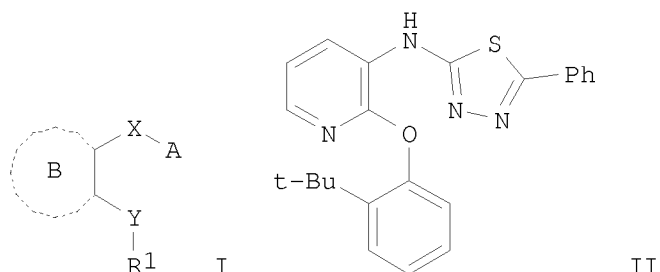
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006078621	A2	20060727	WO 2006-US1535	20060117
WO 2006078621	A3	20061005		
WO 2006078621	A9	20080619		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006206611	A1	20060727	AU 2006-206611	20060117
US 20060173002	A1	20060803	US 2006-333050	20060117
JP 2008527043	T	20080724	JP 2007-552205	20060117
EP 1954696	A2	20080813	EP 2006-733718	20060117
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, MK			
MX 2007008434	A	20070725	MX 2007-8434	20070711
NO 2007003665	A	20071018	NO 2007-3665	20070717
IN 2007DN05767	A	20070817	IN 2007-DN5767	20070725
KR 2007100894	A	20071012	KR 2007-718794	20070817
CN 101142212	A	20080312	CN 2006-80008886	20070919
PRIORITY APPLN. INFO.:			US 2005-645285P	P 20050119
			US 2005-749317P	P 20051209
			WO 2006-US1535	W 20060117
OTHER SOURCE(S):		MARPAT 145:167261		
GI				

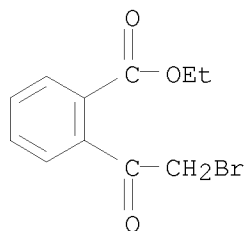


AB Title compds. I [A = (un)substituted 5-6 membered heteroaryl; X = NH or NMe; Y = O or S; R1 = (un)substituted carbocycle or heterocycle], and their pharmaceutically acceptable salts, are prepared and disclosed as selective inhibitors of the human P2Y1 receptor. Thus, e.g., II was prepared by conversion of 2-(2-tert-butylphenoxy)-3-aminopyridine (preparation given) to the isothiocyanate derivative, then the thiosemicarbazide derivative which undergoes cyclocondensation with benzoyl chloride. I in P2Y1 binding assays have demonstrated Ki values of $\leq 10 \mu\text{M}$, thereby confirming they act to modulate P2Y1 activity. The invention also provides for various pharmaceutical compns. of the same and methods for treating diseases responsive to modulation of P2Y1 receptor activity.

IT 133993-34-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 2-phenoxy-N-(1,3,4-thiadizol-2-yl)pyridin-3-amine derivs. and related compound as P2Y1 receptor inhibitors for the treatment of thromboembolic disorders)

RN 133993-34-7 CAPLUS

CN Benzoic acid, 2-(2-bromoacetyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962245 CAPLUS

DOCUMENT NUMBER: 143:266938

TITLE: Preparation of fused pyridazine compounds as NAD(P)H oxidase inhibitors

INVENTOR(S): Seki, Maki; Tarao, Yoshihiro; Yamada, Kumi; Nakao, Akira; Usui, Yoshihiro; Komatsu, Yoshiyuki

PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan

SOURCE: PCT Int. Appl., 105 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005080378	A1	20050901	WO 2005-JP2974	20050224
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,			

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MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

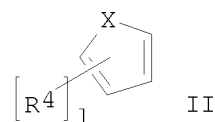
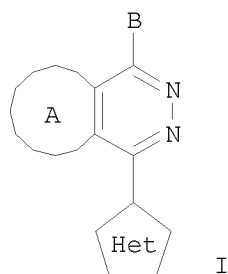
JP 2004-47129

A 20040224

OTHER SOURCE(S):

MARPAT 143:266938

GI



AB Title compds. I [Het = (un)saturated 5-membered heterocycle containing at least one N atom; further details on Het are given.; ring A = II, etc.; B = H, halo, etc.; R4 = H, halo, etc.; 1 = 0-2; X = O, S] were prepared For example, aromatic nucleophilic substitution of 1-chloro-4-(1-methyl-1H-imidazol-2-yl)phthalazine, e.g., prepared from phthalic anhydride in 3 steps, with MeOH in the presence of NaH followed by treatment of HCl afforded 1-methoxy-4-(1-methyl-1H-imidazol-2-yl)phthalazine hydrochloride (III). In NAD(P)H oxidase inhibition assays (in vitro), compound III showed the inhibitory activity of 91%. Compds. I are claimed useful for the treatment of myocardial infarction, arteriosclerosis, etc.

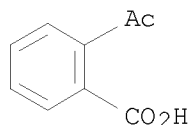
IT 577-56-0, 2-Acetylbenzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of fused pyridazine compds. as NAD(P)H oxidase inhibitors for treatment of myocardial infarction, arteriosclerosis, etc.)

RN 577-56-0 CAPLUS

CN Benzoic acid, 2-acetyl- (CA INDEX NAME)



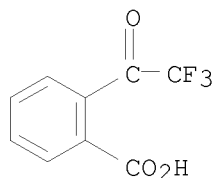
IT 203124-56-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused pyridazine compds. as NAD(P)H oxidase inhibitors for treatment of myocardial infarction, arteriosclerosis, etc.)

RN 203124-56-5 CAPLUS

CN Benzoic acid, 2-(2,2,2-trifluoroacetyl)- (CA INDEX NAME)

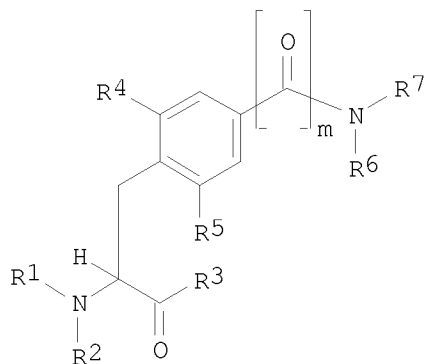


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:450920 CAPLUS
DOCUMENT NUMBER: 142:482324
TITLE: Preparation of phenylalanine derivatives as δ -opioid receptor ligands
INVENTOR(S): Dolle, Roland E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S. Ser. No. 719,627.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050113295	A1	20050526	US 2004-991785	20041118
US 20050113294	A1	20050526	US 2003-719627	20031121
PRIORITY APPLN. INFO.:			US 2003-719627	A2 20031121
OTHER SOURCE(S):			CASREACT 142:482324; MARPAT 142:482324	

GI

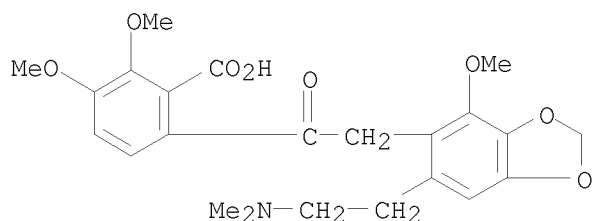


I

AB The invention relates to carboxamide and amino derivs. I [R1, R2 are independently H, alkyl, alkenyl or one of these is C(:NH)NH2, or R1R2N is heterocycloalkyl; R3 is -[J]0-16X (J is an aminoacyl residue, X is OH, alkoxy or an amino group), a peptide or substituted 2-isoquinolyl residue; R4-R7 are H or alkyl or NR6R7 is heterocycloalkyl; m is 0 or 1], including stereoisomers, prodrugs, and pharmaceutically-acceptable salts, which are ligands of the δ -opioid receptor and are useful, inter alia, for treating and/or preventing pain, anxiety, gastrointestinal disorders, and

other δ -opioid receptor-mediated conditions. Thus, (S)-2-amino-3-(4-carboxamidophenyl)propionic acid-Gly-Gly-Phe-Leu-NH₂ was prepared by the solid-phase method and shown to possess K_i = 7 nM and EC₅₀ = 74 nM against the δ receptor with greater than 10-fold selectivity vs. the μ and κ opioid receptors.

IT 131-28-2, Narceine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (claimed pharmaceutical agent; preparation of phenylalanyl peptides as δ -opioid receptor ligands)
 RN 131-28-2 CAPLUS
 CN Benzoic acid, 6-[2-[6-[2-(dimethylamino)ethyl]-4-methoxy-1,3-benzodioxol-5-yl]acetyl]-2,3-dimethoxy- (CA INDEX NAME)



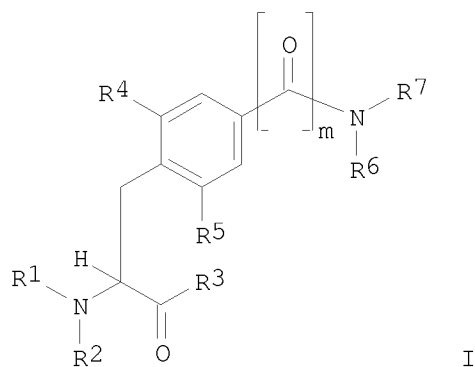
L7 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:450919 CAPLUS
 DOCUMENT NUMBER: 142:482323
 TITLE: Preparation of phenylalanine derivatives as δ -opioid receptor ligands
 INVENTOR(S): Dolle, Roland E.
 PATENT ASSIGNEE(S): Adolor Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 32 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050113294	A1	20050526	US 2003-719627	20031121
US 20050113295	A1	20050526	US 2004-991785	20041118
WO 2005051367	A1	20050609	WO 2004-US38656	20041118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-719627 A2 20031121
 OTHER SOURCE(S): MARPAT 142:482323
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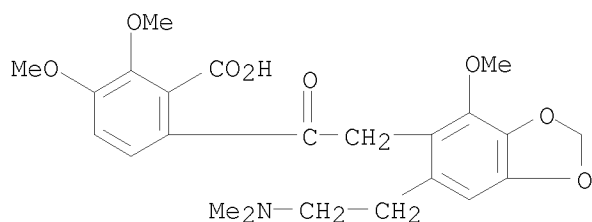


AB The invention relates to carboxamide and amino derivs. I [R1, R2 are independently H, alkyl, alkenyl or one of these is C(:NH)NH2, or R1R2N is heterocycloalkyl; R3 is -[J]0-16X (J is an aminoacyl residue, X is OH, alkoxy or an amino group), a peptide or substituted 2-isoquinolyl residue; R4-R7 are H or alkyl or NR6R7 is heterocycloalkyl; m is 0 or 1], including stereoisomers, prodrugs, and pharmaceutically-acceptable salts, which are ligands of the δ -opioid receptor and are useful, inter alia, for treating and/or preventing pain, anxiety, gastrointestinal disorders, and other δ -opioid receptor-mediated conditions. Thus, (S)-2-amino-3-(4-carboxamidophenyl)propionic acid-Gly-Gly-Phe-Leu-NH2 was prepared by the solid-phase method and shown to possess $K_i = 7$ nM and $EC_{50} = 74$ nM against the δ receptor with greater than 10-fold selectivity vs. the μ and κ opioid receptors.

IT 131-28-2, Narceine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (claimed pharmaceutical agent; preparation of phenylalanyl peptides as δ -opioid receptor ligands)

RN 131-28-2 CAPLUS

CN Benzoic acid, 6-[2-[6-[2-(dimethylamino)ethyl]-4-methoxy-1,3-benzodioxol-5-yl]acetyl]-2,3-dimethoxy- (CA INDEX NAME)



L7 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:238692 CAPLUS

DOCUMENT NUMBER: 142:316849

TITLE: Preparation of phthalazinones as PARP inhibitors

INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron; Jackson, Stephen Philip; Loh, Vincent M., Jr.; Cockcroft, Xiao-Ling Fan; Matthews, Ian Timothy Williams; Menear, Keith Allan; Kerrigan, Frank; Ashworth, Alan

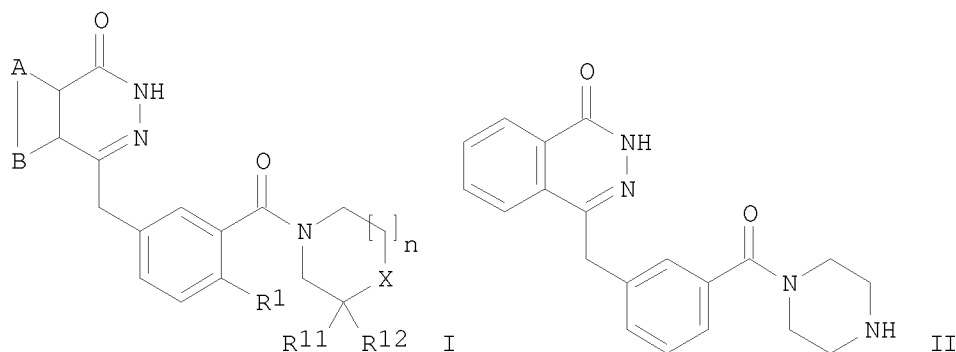
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge Limited

SOURCE: U.S. Pat. Appl. Publ., 67 pp., Cont.-in-part of U.S. Ser. No. 799,154.
 CODEN: USXXCO

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050059663	A1	20050317	US 2004-876080	20040624
US 7449464	B2	20081111		
ZA 2005007097	A	20060628	ZA 2005-7097	20050905
US 20060149059	A1	20060706	US 2005-318155	20051223
JP 2008001718	A	20080110	JP 2007-226723	20070831
US 20080200469	A1	20080821	US 2008-109260	20080424
PRIORITY APPLN. INFO.:			GB 2003-5681	A 20030312
			US 2003-454995P	P 20030314
			US 2003-493399P	P 20030806
			US 2003-526244P	P 20031201
			US 2004-799154	A2 20040312
			JP 2006-505955	A3 20040312
			US 2004-876080	A3 20040624

OTHER SOURCE(S): CASREACT 142:316849; MARPAT 142:316849
 GI

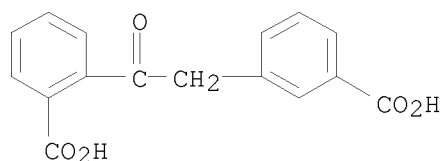


AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; X = NR_x or CR_xR_y; if X = NR_x then n = 1 or 2 and if X = CR_xR_y then n = 1; R_x = H, (un)substituted C1-20 alkyl, C5-20 aryl, C3-20 heterocyclyl, amido, thioamido, ester, acyl, and sulfonyl groups; R_y = H, OH, NH₂; or R_x and R_y may together form a spiro(C3-7)cycloalkyl or heterocyclyl group; R11 and R12 are both H, or when X = CR_xR_y, R11, R12, R_x and R_y, together with the carbon atoms to which they are attached, may form (un)substituted fused aromatic ring; R1 = H, halo], were prepared Thus, reacting 3-(4-oxo-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid (preparation given) with tert-Bu 1-piperazinecarboxylate afforded 77% II which had IC50 of < 0.02 μM against PARP. All compds. I tested had a IC50 of < 0.1 μM in the PARP assay. The pharmaceutical composition comprising the compound I is claimed.

IT 763114-24-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of phthalazinones as PARP inhibitors for use in the treatment of cancer which is deficient in HR dependent DNA DSB repair pathway)

RN 763114-24-5 CAPLUS

CN Benzoic acid, 2-[2-(3-carboxyphenyl)acetyl]- (CA INDEX NAME)



REFERENCE COUNT: 261 THERE ARE 261 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:780675 CAPLUS

DOCUMENT NUMBER: 141:296034

TITLE: Preparation of phthalazinones as PARP inhibitors

INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Jackson, Stephen Philip; Loh, Vincent M., Jr.; Cockcroft, Xiao-Ling Fan; Matthews, Ian Timothy Williams; Menear, Keith Allan; Kerrigan, Frank; Ashworth, Alan

PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge Limited

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080976	A1	20040923	WO 2004-GB1059	20040312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004220321	A1	20040923	AU 2004-220321	20040312
CA 2517629	A1	20040923	CA 2004-2517629	20040312
GB 2415430	A	20051228	GB 2005-20754	20040312
GB 2415430	B	20060712		
BR 2004008284	A	20060307	BR 2004-8284	20040312
EP 1633724	A1	20060315	EP 2004-720068	20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1788000	A	20060614	CN 2004-80012878	20040312
JP 2006519827	T	20060831	JP 2006-505955	20040312
JP 4027406	B2	20071226		
NZ 542680	A	20080829	NZ 2004-542680	20040312
IN 2005DN03895	A	20070427	IN 2005-DN3895	20050831
ZA 2005007097	A	20060628	ZA 2005-7097	20050905
MX 2005009661	A	20060308	MX 2005-9661	20050909
KR 2006054172	A	20060522	KR 2005-716883	20050909
NO 2005004625	A	20051111	NO 2005-4625	20051007
HK 1079530	A1	20061020	HK 2006-101301	20060127

20070831

GB 2003-5681 A 20030312

US 2003-454995P P 20030314

US 2003-493399P P 20030806

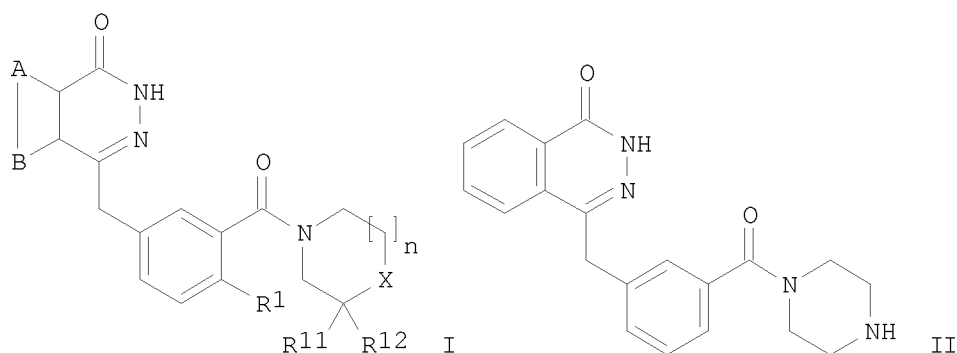
US 2003-526244P P 20031201

JP 2006-505955 A3 20040312

WO 2004-GB1059 A 20040312

OTHER SOURCE(S) : MARPAT 141:296034

GI



AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; X = NR_x or CR_xR_y; if X = NR_x then n = 1 or 2 and if X = CR_xR_y then n = 1; R_x = H, (un)substituted C1-20 alkyl, C5-20 aryl, C3-20 heterocyclyl, amido, thioamido, ester, acyl, and sulfonyl groups; R_y = H, OH, NH₂; or R_x and R_y may together form a spiro(C3-7)cycloalkyl or heterocyclyl group; R11 and R12 are both H, or when X = CR_xR_y, R11, R12, R_x and R_y, together with the carbon atoms to which they are attached, may form (un)substituted fused aromatic ring; R1 = H, halo], were prepared Thus, reacting 3-(4-oxo-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid (preparation given) with tert-Bu 1-piperazinecarboxylate afforded 77% II which had IC₅₀ of < 0.02 μM against PARP. All compds. I tested had a IC₅₀ of < 0.1 μM in the PARP assay. The pharmaceutical composition comprising the compound I is claimed.

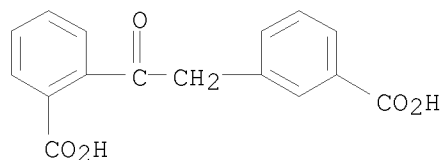
IT 763114-24-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phthalazinones as PARP inhibitors)

RN 763114-24-5 CAPLUS

CN Benzoic acid, 2-[2-(3-carboxyphenyl)acetyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:335110 CAPLUS

DOCUMENT NUMBER: 138:338296

TITLE: Preparation of phosphonic acid compounds as inhibitors

INVENTOR(S): of serine proteases
 Greco, Michael N.; Almond, Harold R.; De Garavilla, Lawrence; Hawkins, Michael J.; Maryanoff, Bruce E.; Qian, Yun; Walker, Donald Gilmore; Cesco-Cancian, Sergio; Nilsen, Christopher Norman; Patel, Mitul N.; Humora, Michael J.

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 110 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035654	A1	20030501	WO 2002-US33206	20021017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2464111	A1	20030501	CA 2002-2464111	20021017
AU 2002356818	A1	20030506	AU 2002-356818	20021017
AU 2002356818	B2	20090226		
EP 1438316	A1	20040721	EP 2002-802153	20021017
EP 1438316	B1	20060621		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013961	A	20040831	BR 2002-13961	20021017
CN 1604904	A	20050406	CN 2002-825098	20021017
JP 2005537217	T	20051208	JP 2003-538169	20021017
AT 330961	T	20060715	AT 2002-802153	20021017
HU 2006000339	A2	20060828	HU 2006-339	20021017
ES 2266634	T3	20070301	ES 2002-802153	20021017
NZ 532372	A	20070727	NZ 2002-532372	20021017
RU 2311421	C2	20071127	RU 2004-111784	20021017
MX 2004003707	A	20050408	MX 2004-3707	20040419
IN 2004KN00625	A	20060421	IN 2004-KN625	20040513
NO 2004002057	A	20040518	NO 2004-2057	20040518
ZA 2004003824	A	20050913	ZA 2004-3824	20040518
HK 1065802	A1	20061124	HK 2004-108770	20041108
PRIORITY APPLN. INFO.:			US 2001-330343P	P 20011019
			WO 2002-US33206	W 20021017
OTHER SOURCE(S):			CASREACT 138:338296; MARPAT 138:338296	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phosphonic acid compds. [I; wherein R1 = (substituted) heterocyclic ring with the point of attachment being a nitrogen ring atom, amino; R2, R3, independently = H, (C1-C4)alkyl, (C1-C4)alkoxy, (C2-C4)alkenyl, amino, halo, hydroxy, or R2 and R3 together form at least one ring fused to the benzene ring; R4 = (C1-C4)alkyl, aryl, heteroaryl; R5 = H, (C1-C8)alkyl;

R6 = (C1-C8)alkyl, aryl(C1-C8)alkyl, (C1-C8)alkoxy, aryl(C1-C8)alkoxy, (C2-C8)alkenyloxy, etc.; X, Y, independently = H, (C1-C8)alkyl, (C1-C8)alkoxy, (C2-C8)alkenyloxy, cycloalkyl, heterocyclyl, aryl, aryloxy, etc.; Z = a bond, H, (C1-C8)alkyl] were prepared For example, compound (II) was prepared in several steps. The prepared compds. are useful as serine protease inhibitors and, thus, are useful for treating inflammatory and serine protease mediated disorders. For example, compound II showed good inhibition against cathepsin G (IC50 = .081 μ M).

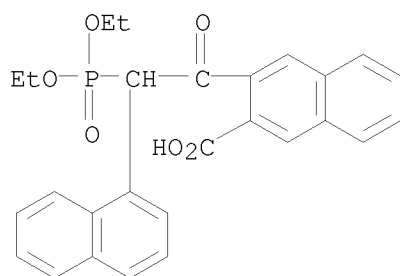
IT 429676-95-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phosphonic acid compds. as inhibitors of serine proteases)

RN 429676-95-9 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[2-(diethoxyphosphinyl)-2-(1-naphthalenyl)acetyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:716126 CAPLUS

DOCUMENT NUMBER: 137:252985

TITLE: Medicinal compositions containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors

INVENTOR(S): Inaba, Toshimori

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

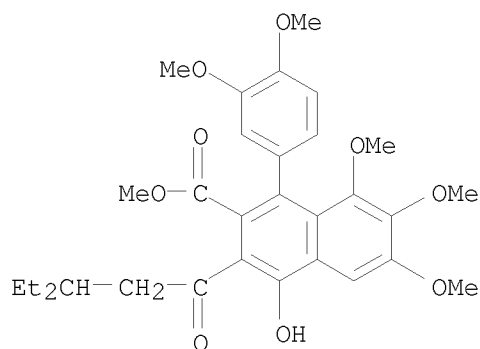
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072147	A1	20020919	WO 2002-JP2311	20020312
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002236307	A1	20020924	AU 2002-236307	20020312
JP 2002338496	A	20021127	JP 2002-67841	20020313
PRIORITY APPLN. INFO.:			JP 2001-72050	A 20010314

AB Disclosed are medicinal compns. for administering an ileal bile acid transporter inhibitor and a cholesterol acyltransferase (ACAT) inhibitor either at the same time or sep. at a certain interval. The effect of oral administration of both 4-[3-[(1-(3,5-difluorophenyl)ethylamino)-(4-methoxyphenyl)methyl]phenylamino]-3-hydroxy-3-cyclobutene-1,2-dione (I) and N-(1-octyl-5-carboxymethyl-4,6-dimethylindoline-7-yl)-2,2-dimethylpropaneamide (II) on blood serum triglyceride was prepared Also, a tablet containing I 50, II 30, lactose 368, corn starch 50, magnesium stearate 2 mg was prepared

IT 151165-96-7, S-8921
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (hypolipemic compns. containing bile acid transporter inhibitor and cholesterol acyltransferase inhibitors)

RN 151165-96-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 1-(3,4-dimethoxyphenyl)-3-(3-ethyl-1-oxopentyl)-4-hydroxy-6,7,8-trimethoxy-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:819369 CAPLUS

DOCUMENT NUMBER: 132:49958

TITLE: Preparation of pyrazolopyridine derivatives as adenosine antagonists

INVENTOR(S): Akahane, Atsushi; Nishimura, Shintaro; Kuroda, Satoru; Itani, Hiromichi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 92 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

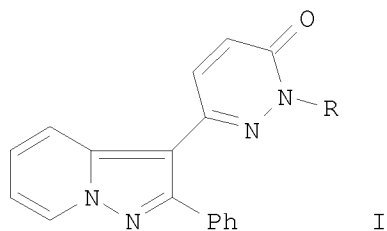
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967239	A1	19991229	WO 1998-JP2794	19980622
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			WO 1998-JP2794	19980622
OTHER SOURCE(S):	MARPAT 132:49958			

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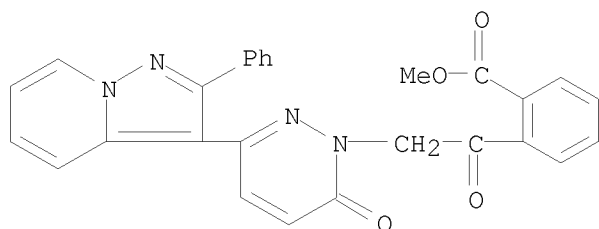


AB The title compds. I [R = alkanoylalkyl, etc.] are prepared These compds. are adenosine antagonists and useful as recognition augmenters, nootropic drugs, mental stimulants, analgesic agents, cardiac protective drugs, antidepressive drugs, cerebral vasodilators, tranquilizers, remedies for cardiac failure, cardiac tonics, hypotensive drugs, drugs for renal insufficiency, remedies for nephrotoxicity, renal protective agents, renal function improving drugs, diuretic agents, remedies for edema, antiobesic drugs, antiasthmatics, bronchodilators, remedies for apnea, remedies for gout, remedies for hyperuricemia, remedies for sudden infant death syndrome (SIDS), drugs for improving immunosuppression by adenosine, antidiabetic drugs, antiulcer drugs, remedies for pancreatitis, remedies for Meniere syndrome, antianemic agents, remedies for thrombosis, remedies for heart infarction, remedies for embolism, remedies for arteriosclerosis obliterans, remedies for thrombotic phlebitis, remedies for brain infarction, remedies for transient cerebral ischemia, remedies for angina pectoris, etc. In an in vitro test for adenosine A1 antagonism, 3-[2-(1-methyl-2-oxopropyl)-3-oxo-2,3-dihydropyridazine-6-yl]-2-phenylpyrazolo[1,5-a]pyridine at 3.2×10^{-7} M showed > 90% inhibition of binding of [3H]-N6-cyclohexyladenosine.

IT 210879-79-1P 210879-99-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolopyridine derivs. as adenosine antagonists)

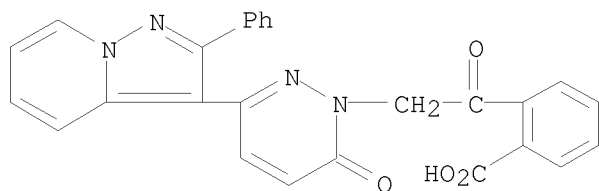
RN 210879-79-1 CAPLUS

CN Benzoic acid, 2-[2-[6-oxo-3-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-1(6H)-pyridazinyl]acetyl]-, methyl ester (CA INDEX NAME)

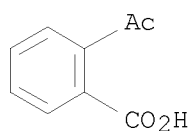


RN 210879-99-5 CAPLUS

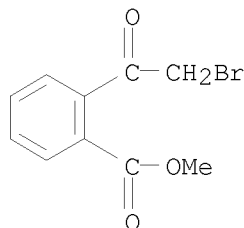
CN Benzoic acid, 2-[2-[6-oxo-3-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-1(6H)-pyridazinyl]acetyl]- (CA INDEX NAME)



IT 577-56-0, 2-Acetylbenzoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of pyrazolopyridine derivs. as adenosine antagonists)
 RN 577-56-0 CAPLUS
 CN Benzoic acid, 2-acetyl- (CA INDEX NAME)



IT 7460-55-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of pyrazolopyridine derivs. as adenosine antagonists)
 RN 7460-55-1 CAPLUS
 CN Benzoic acid, 2-(2-bromoacetyl)-, methyl ester (CA INDEX NAME)



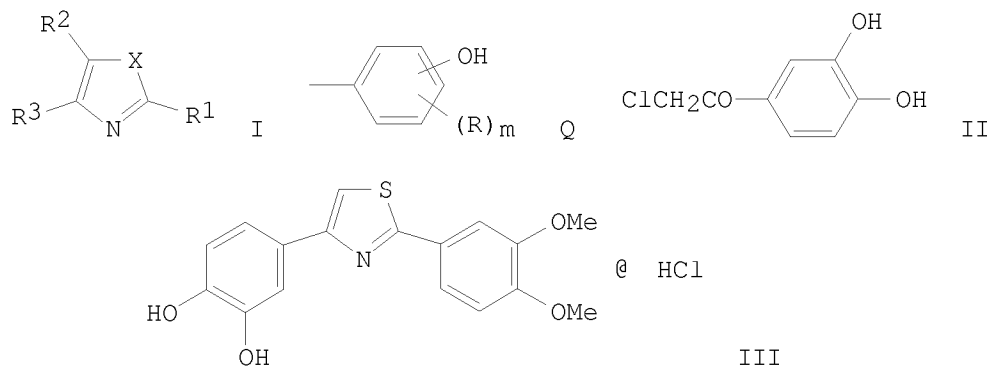
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1993:191726 CAPLUS
 DOCUMENT NUMBER: 118:191726
 ORIGINAL REFERENCE NO.: 118:32941a,32944a
 TITLE: Preparation oxazole and thiazole derivatives as active oxygen inhibitors
 INVENTOR(S): Chihiro, Masatoshi; Komatsu, Hajime; Tominaga, Michiaki; Yabuuchi, Youichi
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 560 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9209586	A1	19920611	WO 1991-JP1659	19911129
W: AU, CA, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2074933	A1	19920531	CA 1991-2074933	19911129
CA 2074933	C	20021203		
AU 9189367	A	19920625	AU 1991-89367	19911129
AU 656930	B2	19950223		
CA 2396738	A1	19920625	CA 1991-2396738	19911129
CA 2396738	C	20060829		
CA 2547947	A1	19920625	CA 1991-2547947	19911129
CA 2547947	C	20070925		
EP 513387	A1	19921119	EP 1991-920815	19911129
EP 513387	B1	20000301		
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JP 05051318	A	19930302	JP 1991-342495	19911129
EP 934937	A1	19990811	EP 1999-107493	19911129
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ES 2144403	T3	20000616	ES 1991-920815	19911129
EP 1130017	A2	20010905	EP 2001-112988	19911129
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ES 2173683	T3	20021016	ES 1999-107493	19911129
ES 2245660	T3	20060116	ES 2001-112988	19911129
US 5643932	A	19970701	US 1995-444728	19950519
US 5677319	A	19971014	US 1995-482657	19950607
US 6080764	A	20000627	US 1997-826343	19970325
JP 10101562	A	19980421	JP 1997-233370	19970813
JP 3182556	B2	20010703		
HK 1003938	A1	20000721	HK 1998-103139	19980416
US 37556	E1	20020219	US 1999-245914	19990208
PRIORITY APPLN. INFO.:			JP 1990-337727	A 19901130
			CA 1991-2074933	A3 19911129
			CA 1991-2396738	A3 19911129
			EP 1991-920815	A3 19911129
			EP 1999-107493	A3 19911129
			JP 1991-342495	A3 19911129
			WO 1991-JP1659	A 19911129
			US 1992-916082	B1 19920729
			US 1995-444728	A3 19950519
			US 1995-482657	A3 19950607

OTHER SOURCE(S): MARPAT 118:191726
GI



AB The title compds. [I; R¹ = (substituted) Ph; R² = H, halo, alkyl, Ph alkoxy carbonyl, alkylamino, etc.; R³ = Q (wherein R = OH, CO₂H, alkyl, alkenyl; m = 0-2); X = S, O], useful in treating thrombosis, arteriosclerosis, peptic ulcers, etc., are prepared. A suspension of 367 mg II and 430 mg 3,4-(MeO)₂C₆H₃CSNH₂ in EtOH was refluxed to give 160 mg thiazole salt III, which showed IC₅₀ of 1 μM against superoxide formation. I were also effective in treating arrhythmia, ischemic renal disorders, and myocardial necrosis.

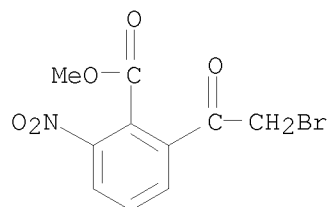
IT 145736-88-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of active oxygen inhibitor)

RN 145736-88-5 CAPLUS

CN Benzoic acid, 2-(2-bromoacetyl)-6-nitro-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

73.16

259.26

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-9.84

-9.84

STN INTERNATIONAL LOGOFF AT 11:22:16 ON 06 APR 2009